What is claimed is:

1. A peptide comprising an amino acid sequence having a cleavage site specific for an enzyme having a proteolytic activity of human kallikrein 2 (hK2), wherein the peptide comprises the sequence G-K-A-X_1-X_2-X_3, wherein at least one of X_1, X_2, and X_3 is arginine, and wherein the other two amino acid residues at X_1, X_2, and X_3 are each any amino acid residue.

2. The peptide of claim 1, further comprising a nitrotyrosine quencher at the amino terminus of the peptide.

3. The peptide of claim 1, further comprising K(ABZ) at the carboxy terminus of the peptide.

4. The peptide of claim 1, further comprising a nitrotyrosine quencher at the amino terminus of the peptide and K(ABZ) at the carboxy terminus of the peptide.

5. The peptide of any one of claims 1-4, which comprises the sequence NO_2-Y-G-K-A-X_1-X_2-X_3-Dap-K(ABZ).

6. The peptide of any one of claims 1-5, wherein the peptide is cleaved by hK2 after the amino acid residue X_1.

7. The peptide of any one of claims 1-5, wherein the peptide is cleaved by hK2 after the amino acid residue X_2.

8. The peptide of any one of claims 1-5, wherein the peptide is cleaved by hK2 after the amino acid residue X_3.

9. The peptide of any one of claims 1-5, wherein the peptide is cleaved by hK2 after the amino acid residue X_2 and/or after the amino acid residue X_3.

10. The peptide of any one of claims 1-9, wherein the peptide is cleaved by hK2 after an arginine (R) residue.

11. The peptide of any one of claims 1-10, wherein the peptide comprises the amino acid sequence selected from the group consisting of SEQ ID NO:1, SEQ ID NO:2, SEQ ID NO:5, SEQ ID NO:6, SEQ ID NO:9, SEQ ID NO:10, SEQ ID NO:11, SEQ ID NO:22, SEQ ID NO:23, SEQ ID NO:26, SEQ ID NO:27, SEQ ID NO:30, SEQ ID NO:31, SEQ ID NO:32.

12. The peptide of any one of claims 1-11, further comprising a capping group attached to the N-terminus of the peptide, wherein the capping group inhibits endopeptidase activity on the peptide.

13. The peptide of claim 12, wherein the capping group is selected from the group consisting of acetyl, morpholinocarbonyl, benzyloxycarbonyl, glutaryl and succinyl substituents.

14. The peptide of any one of claims 1-13, further comprising an added substituent which renders the peptide water-soluble.

15. The peptide of claim 14, wherein the added substituent is a polymer.

16. The peptide of claim 15, wherein the polymer is selected from the group consisting of polylysine, polyethylene glycol (PEG), and a polysaccharide.

17. The peptide of claim 16, wherein the polysaccharide is selected from the group consisting of modified or unmodified dextran, cyclodextrin, and starch.

18. The peptide of any one of claims 1-17, further comprising an antibody attached to the amino terminus of the peptide.

19. A peptide composition comprising a plurality of peptides, each peptide comprising an amino acid sequence having a cleavage site specific for an enzyme having a proteolytic activity of human kallikrein 2 (hK2), wherein each peptide comprises the sequence G-K-A-X_1-X_2-X_3, wherein at least one of X_1, X_2, and X_3 is arginine, and wherein the other two amino acid residues at X_1, X_2, and X_3 are each any amino acid residue.

20. A polynucleotide encoding the peptide of any one of claims 1-11.

21. A composition comprising a prodrug, the prodrug comprising
a therapeutically active drug; and
a peptide of any one of claims 1-19,
wherein the peptide is linked to the therapeutically active drug to inhibit the therapeutic activity of the drug, and wherein the therapeutically active drug is cleaved from the peptide upon proteolysis by an enzyme having a proteolytic activity of human kallikrein 2 (hK2).

22. The composition of claim 21, wherein the peptide is linked directly to the therapeutic drug.

23. The composition of any one of claims 21-22, wherein the peptide is linked directly to a primary amine group on the drug.

24. The composition of claim 21, wherein the peptide is linked to the therapeutic drug via a linker.

25. The composition of claim 24, wherein the linker is an amino acid sequence.

26. The composition of any one of claims 24-25, wherein the linker comprises a leucine residue.

27. The composition of claim 24, wherein the linker is selected from the group consisting of unsubstituted or alkyl-, aryl-, halo-, alkoxy-, alkenyl-, amido- or amino-substituted CO-$(CH=CH)_{n1}$-$(CH_2)_{n2}$-Ar-NH_2, CO-$(CH_2)_{n2}$-$(CH=CH)_{n1}$-Ar-NH_2, CO-$(CH_2)_{n2}$-$(CH=CH)_{n1}$-CO-NH-Ar-NH_2, CO-$(CH=CH)_{n1}$-$(CH_2)_{n2}$-CO-NH-Ar-NH_2, CO-$(CH_2)_{n3}$-NH_2, and CO-$(CH_2)_{n3}$-NH-CO-$CH(R_4)$-NH_2, wherein nl and n2 are from 0 to 5, n3 is from 0 to 15, Ar is any substituted or unsubstituted aryl group, attachment of NH_2 to Ar is in a ortho, meta or para position with respect to the remainder of the linker, and R_4 is any naturally occurring amino acid side chain.

28. The composition of any one of claims 21-27, wherein the therapeutically active drug inhibits a SERCA pump.

29. The composition of any one of claims 21-28, wherein the therapeutically active drug is selected from the group of primary amine containing thapsigargins or thapsigargin derivatives.

30. The composition of claim 29, wherein the thapsigargin derivative is 8-O-(12-[L-leucinoylamino]dodecanoyl)-8-O-debutanoylthapsigargin (L12ADT).

31. The composition of any one of claims 21-27, wherein the therapeutically active drug intercalates into a polynucleotide.

32. The composition of claim 31, wherein the therapeutically active drug is an anthracycline.

33. The composition of claim 32, wherein the anthracycline is selected from the group consisting of doxorubicin, daunorubicin, epirubicin, and idarubicin.

34. The composition of any one of claims 21-27, wherein the therapeutically active drug is a taxane.

35. The composition of claim 34, wherein the taxane is selected from the group consisting of paclitaxel and docetaxel.

36. The composition of any one of claims 21-27, wherein the therapeutically active drug is a vinca alkaloid.

37. The composition of claim 36, wherein the vinca alkaloid is selected from the group consisting of vincristine, vinblastine, and etoposide.

38. The composition of any one of claims 21-27, wherein the therapeutically active drug is an antiandrogen.

39. The composition of claim 38, wherein the antiandrogen is selected from the group consisting of biscalutamide, flutamide, nilutamide, and cyproterone acetate.

40. The composition of any one of claims 21-27, wherein the therapeutically active drug is an antifolate.

41. The composition of claim 40, wherein the antifolate is methotrexate.

42. The composition of any one of claims 21-27, wherein the therapeutically active drug is a nucleoside analog.

43. The composition of claim 42, wherein the nucleoside analog is selected from the group consisting of 5-Fluorouracil, gemcitabine, and 5-azacytidine.

44. The composition of any one of claims 21-27, wherein the therapeutically active drug is a topoisomerase inhibitor.

45. The composition of claim 44, wherein the topoisomerase inhibitor is selected from the group consisting of Topotecan and irinotecan.

46. The composition of any one of claims 21-27, wherein the therapeutically active drug is an alkylating agent.

47. The composition of claim 46, wherein the alkylating agent is selected from the group consisting of cyclophosphamide, Cisplatinum, carboplatinum, and ifosfamide.

48. The composition of any one of claims 21-27, wherein the therapeutically active drug is a targeted radiation sensitizer.

49. The composition of claim 48, wherein the targeted radiation sensitizer is selected from the group consisting of 5-fluorouracil, gemcitabine, topoisomerase inhibitors, and cisplatinum.

50. The composition of any one of claims 21-49, wherein the therapeutically active drug has an IC_{50} toward ER Ca^{2+}-ATPase of at most 500 nM.

51. The composition of any one of claims 21-49, wherein the therapeutically active drug has an IC_{50} toward ER Ca^{2+}-ATPase of at most 50 nM.

52. The composition of any one of claims 21-49, wherein the therapeutically active drug has an LC_{50} toward hK2-producing tissue of at most 20 μM.

53. The composition of any one of claims 21-49, wherein the therapeutically active drug has an LC_{50} toward hK2-producing tissue of less than or equal to 2.0 μM.

54. A method of producing a prodrug, the method comprising the step of linking
a therapeutically active drug and
a peptide of any one of claims 1-19,
wherein the linking of the peptide to the drug inhibits the therapeutic activity of the drug.

55. The method of claim 54, wherein the therapeutically active drug has a primary amine.

56. The method of any one of claims 54-55, wherein the prodrug contains a linker between the peptide and the drug.

57. The method of claim 56, wherein the linker comprises leucine.

58. A method of treating an hK2-producing cell proliferative disorder, the method comprising administering the composition of any one of claims 21-53 in a therapeutically effective amount to a subject having the cell proliferative disorder.

59. The method of claim 58, wherein the disorder is benign.

60. The method of claim 58, wherein the disorder is malignant.

61. The method of claim 60, wherein the malignant disorder is prostate cancer.

61. The method of claim 60, wherein the malignant disorder is breast cancer.

62. The method of any one of claims 58-61, wherein the composition is administered as a single dose comprising at least about 7 mg/kg peptide.

63. The method of any one of claims 58-61, wherein the composition is administered as a single dose comprising at least about 17.5 mg/kg peptide.

64. The method of any one of claims 58-61, wherein the composition is administered in doses of at least about 7 mg/kg peptide per day for at least 4 days.

65. A method of detecting human kallikrein 2-producing tissue, the method comprising:

contacting the tissue with a composition comprising

a detectably labeled peptide of any one of claims 1-19 for a period of time sufficient to allow cleavage of the peptide; and

detecting the detectable label.

66. The method of claim 65, wherein the detectable label is a fluorescent label.

67. The method of claim 66, wherein the fluorescent label is selected from the group consisting of 7-amino-4-methyl coumarin, 7-amino-4-trifluoromethyl coumarin, rhodamine 110, and 6-aminoquinoline.

68. The method of claim 65, wherein the detectable label is a radioactive label.

69. The method of claim 68, wherein the radioactive label is selected from the group consisting of tritium, carbon-14, and iodine-125.

70. The method of claim 65, wherein the detectable label is a chromophoric label.

71. The method of claim 65, wherein the detectable label is a chemiluminescent label.

72. A method of selecting a human kallikrein 2 (hK2) activatable prodrug wherein the prodrug is substantially specific for target tissue comprising hK2-producing cells, the method comprising:

a) linking a peptide of any one of claims 1-19 to a therapeutic drug to produce a peptide-drug composition;

b) contacting the composition with cells of the target tissue;

c) contacting the composition with cells of a non-target tissue; and

selecting complexes that are substantially toxic towards target tissue cells, but which are not substantially toxic towards non-target tissue cells.

73. A method of determining the activity of hK2 in a sample containing hK2, the method comprising:

a) contacting the sample with a composition comprising a detectably labeled peptide of any one of claims 1-19 for a period of time sufficient to allow cleavage of the peptide;

b) detecting the detectable label to yield a detection level;

c) comparing the detection level with a detection level obtained from contacting the detectably labeled peptide with a standard hK2 sample.

74. A method of imaging hK2-producing tissue, the method comprising:

a) administering a peptide of any one of claims 1-19 linked to a lipophilic imaging label to a subject having or suspected of having an hK2 producing associated cell-proliferative disorder;

b) allowing a sufficient period of time to pass to allow cleavage of the peptide by hK2 and to allow clearance of uncleaved peptide from the subject to provide a reliable imaging of the imaging label; and

c) imaging the subject.

75. A method of identifying a peptide sequence which can be a substrate for hK2 comprising

a) incubating a random peptide library comprising the peptides of any one of claims 1-10 with hK2;

b) detecting a peptide which is cleaved by hK2; and

c) determining the sequence of the cleaved peptide,

wherein the peptides comprise a label which is detectable only after cleavage by hK2.